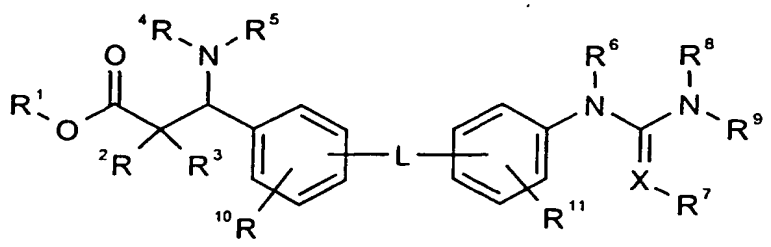
Claims

1. Compounds of the general formula (1)



(1)

wherein

R^1 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R^2 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an optionally substituted alkenyl residue, an optionally substituted alkinyl residue, a hydroxyl residue or an alkoxy residue or is bonded to R^3 with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes the carbon atom to which R^2 is bonded and can optionally contain heteroatoms;

R^3 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an optionally substituted alkenyl residue, an optionally substituted alkinyl residue, a hydroxyl residue or an alkoxy residue or is bonded to R^2 with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes the carbon atom to which R^3 is bonded and can optionally contain heteroatoms;

R^4 is $-SO_2R^{4'}$, $-COOR^{4''}$, $-COR^{4'}$, $-CONR^{4'}_2$ or $-CSNR^{4'}_2$;

$R^{4'}$ is hydrogen, a substituted or unsubstituted alkyl, alkenyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

$R^{4''}$ is a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R^5 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue or a substituted or unsubstituted aryl residue;

R^{10} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted alkoxy residue or a halogen atom;

R^{11} is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted alkoxy residue or a halogen atom;

L is $-(CH_2)_mNHSO_2(CH_2)_n-$, $-(CH_2)_mSO_2NH(CH_2)_n-$, $-(CH_2)_mNHCO(CH_2)_n-$, $-(CH_2)_mCONH(CH_2)_n-$, $-(CH_2)_mOCH_2(CH_2)_n-$, $-(CH_2)_mCH_2O(CH_2)_n-$, $-(CH_2)_mCOO(CH_2)_n-$, $-(CH_2)_mOOC(CH_2)_n-$, $-(CH_2)_mCH_2CO(CH_2)_n-$, $-(CH_2)_mCOCH_2(CH_2)_n-$, -NHCONH-, $-(CH_2)_mSCH_2(CH_2)_n-$, $-(CH_2)_mCH_2S(CH_2)_n-$, $-(CH_2)_mCH_2SO(CH_2)_n-$, $-(CH_2)_mSOCH_2(CH_2)_n-$, $-(CH_2)_mCH_2SO_2(CH_2)_n-$ or $-(CH_2)_mSO_2CH_2(CH_2)_n-$, wherein m and n are each an integer of 0 or 1 and $m + n \leq 1$;

R^6 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue or is bonded to one of R^7, R^8 or R^9, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^6 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is N, O or S;

R^7 is absent, is -H, a substituted or unsubstituted alkyl or cycloalkyl residue, $-NO_2$, -CN, $-COR^{7'}$, $-COOR^{7'}$, or is bonded to one of R^6, R^8 or R^9 with formation of an optionally substituted heterocyclic ring

system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^{7'}$ is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue which can be saturated or unsaturated and/or can contain further heteroatoms;

R^8 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue or is bonded to one of R^6, R^7 or R^9, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^8 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R^9 is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue or is bonded to one of R^6, R^7 or R^8, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^9 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

and their physiologically acceptable salts and stereoisomers.

2. Compounds according to Claim 1,
characterized in that

R^1 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof;

R^2 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue, an alkenyl residue, an alkinyl residue or a substituted derivative thereof; a hydroxyl residue or a C_{1-6}-alkoxy residue or is bonded to R^3 with formation of an optionally substituted carbocyclic

or heterocyclic ring system which includes the carbon atom to which R^2 is bonded and can optionally contain heteroatoms;

R^3 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue, an alkenyl residue, an alkinyl residue or a substituted derivative thereof; a hydroxyl residue or a C_{1-6}-alkoxy residue or is bonded to R^2 with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes the carbon atom to which R^3 is bonded and can optionally contain heteroatoms;

R^4 is $-SO_2R^{4'}$, $-COOR^{4''}$, $-COR^{4'}$, $-CONR^{4'}_2$ or $-CSNR^{4'}_2$;

$R^{4'}$ is hydrogen, a C_{1-6}-alkyl residue, an optionally substituted C_{2-6}-alkenyl residue, a C_{3-7}-cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

$R^{4''}$ is a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R^5 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof;

R^{10} is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, a C_{1-6}-alkoxy residue or a substituted derivative thereof or F, Cl, Br or I;

R^{11} is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, a C_{1-6}-alkoxy residue or a substituted derivative thereof or F, Cl, Br or I;

L is $-NHSO_2-$, $-CH_2NHSO_2-$, $-NHSO_2CH_2-$, $-SO_2NH-$, $-CH_2SO_2NH-$, $-SO_2NHCH_2-$, $-NHCO-$, $-CH_2NHCO-$, $-NHCOCH_2-$, $-CONH-$, $-CH_2CONH-$, $-CONHCH_2-$, $-OCH_2-$, $-CH_2OCH_2$, $-OCH_2CH_2-$, $-CH_2O-$ $-CH_2CH_2O-$, $-COO-$, $-CH_2COO-$, $-COOCH_2-$, $-OOC-$, $-OOCCH_2-$, $-CH_2OOC-$, $-CH_2CO-$,$-COCH_2-$, $-CH_2CH_2CO-$, $-COCH_2CH_2-$, $-CH_2COCH_2-$, $-NHCONH-$, $-SCH_2-$, $-CH_2S-$, $-CH_2SCH_2$, $-SCH_2CH_2-$, $-CH_2CH_2S-$, $-SOCH_2-$, $-CH_2SO-$, $-CH_2SOCH_2-$, $-SOCH_2CH_2-$, $-CH_2CH_2SO-$, $-SO_2CH_2-$, $-CH_2SO_2-$, $-CH_2SO_2CH_2-$, $-CH_2CH_2\,SO_2-$ or $-SO_2CH_2CH_2-$;

R^6 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof or is bonded to one of R^7, R^8 or R^9, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^6 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is O, N or S;

R^7 is absent, is -H, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, $-NO_2$, -CN, $-COR^{7'}$, $-COOR^{7'}$, or is bonded to one of R^6, R^8 or R^9 with formation of an optionally substituted heterocyclic ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^{7'}$ is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof;

R^8 is hydrogen, a C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof or is bonded to one of R^6, R^7 or R^9, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^8 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms; and

R^9 is hydrogen, an optionally substituted C_{1-6}-alkyl residue, a C_{3-7}-cycloalkyl residue, an aryl residue or a substituted derivative thereof, an unsaturated, optionally substituted heterocyclic residue or is bonded to one of R^6, R^7 or R^8, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R^9 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms.

3. Compounds according to Claim 1,

characterized in that

R^1 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

R^2 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -OH, methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy, benzyloxy or is bonded to R^3 with formation of an optionally substituted 3- to 6-membered carbocyclic or heterocyclic ring system which includes the carbon atom to which R^2 is bonded and can optionally contain heteroatoms;

R^3 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -OH, methoxy, ethoxy, propoxy, butoxy, pentoxy, hexoxy, or is bonded to R^2 with formation of an optionally substituted 3- to 6-membered carbocyclic or heterocyclic ring system which includes the carbon atom to which R^3 is bonded and can optionally contain heteroatoms;

R^4 is $-SO_2R^{4'}$, $COOR^{4''}$ or $-COR^{4'}$;

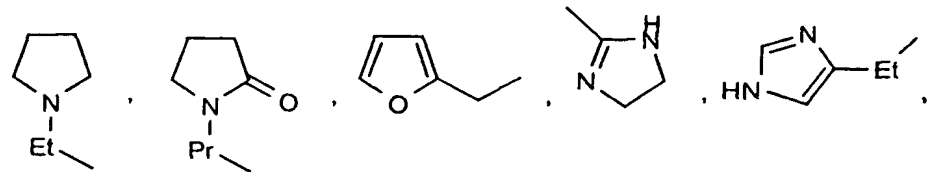
$R^{4'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluo-

romethyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methyl-benzothiazol-2-yl, N-methoxycarbonylpiperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

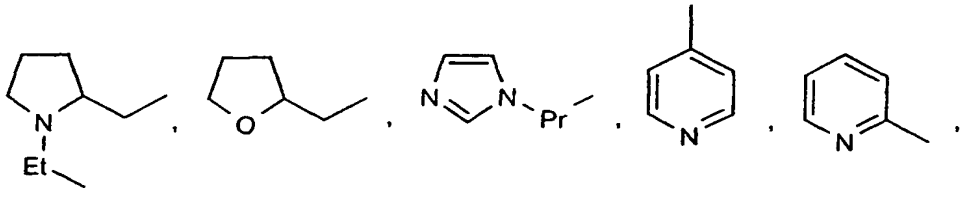
$R^{4''}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, or a substituted derivative thereof, $-CH_2C_6H_2(CH_3)_3$, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenylmethyl, 4-trifluoromethylphenylmethyl, 3,5-bis(trifluoromethyl)phenylmethyl, 4-trifluoromethoxyphenyl, 2-thiophenylmethyl, 4-methoxyphenylmethyl, 3,5-dimethoxyphenylmethyl, 3-methylphenylmethyl, 4-methylphenylmethyl, 4-t-butylphenylmethyl, 4-propylphenylmethyl, 2,5-dimethylphenylmethyl, 2-methoxy-5-methylphenylmethyl, 2,3,5,6-tetramethylphenylmethyl, 2,3,4,5,6-pentamethylphenylmethyl, 1-naphthylmethyl, 2-naphthylmethyl, 4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2-chloro-6-methylphenylmethyl, 2-chloro-4-fluoro-

phenylmethyl, 2,5-dimethoxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 3-chloro-6-methoxyphenylmethyl, 2-trifluoromethylphenylmethyl, 2,2,2-trifluoroethyl, isoxazol-5-ylmethyl, 2-chloropyridin-3-yl-methyl, pyridin-3-yl-methyl, 2-chloropyridine-5-methyl;

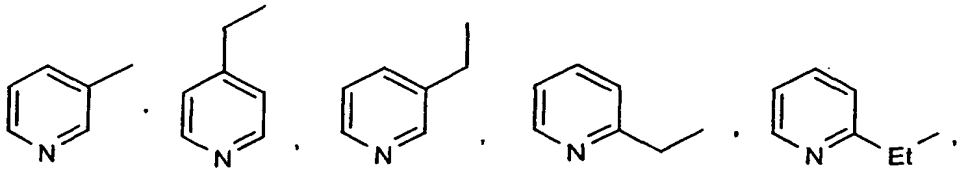
R^5 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4}-alkylamino-C_{1-4}-alkyl, C_{1-4}-dialkylamino-C_{1-4}-alkyl, amino-C_{1-4}-alkyl, C_{1-4}-alkyloxy-C_{1-4}-alkyl or



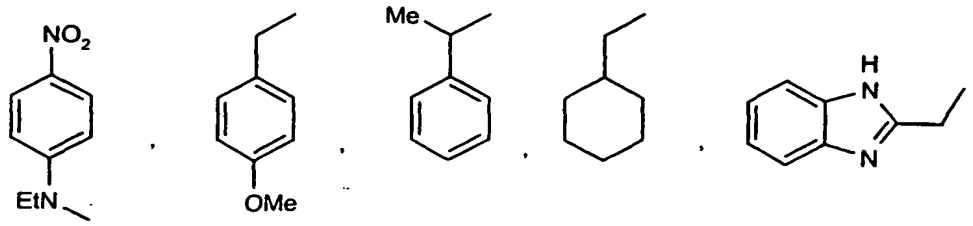
(a1) (a2) (a3) (a4) (a5)



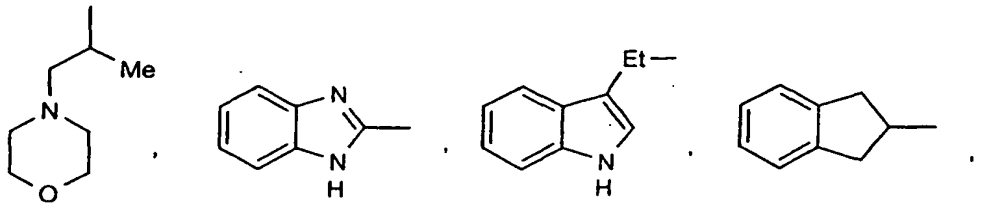
(a6) (a7) (a8) (a9) (a10)



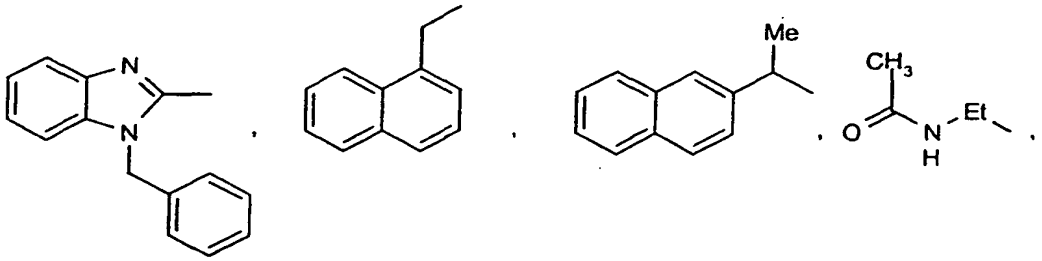
(a11) (a12) (a13) (a14) (a15)



(a16) (a17) (a18 (a19 (a20)



(a21) (a22) (a23) (a24)



(a25) (a26) (a27) (a28)

R^{10} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, methoxy, ethoxy, propoxy, butoxy, pentoxy or hexoxy, fluorine, chlorine, bromine or iodine;

R^{11} is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, methoxy, ethoxy, propoxy, butoxy, pentoxy or hexoxy, fluorine, chlorine, bromine or iodine;

L is $-NHSO_2-$, $-CH_2NHSO_2-$, $-NHSO_2CH_2-$, $-SO_2NH-$, $-CH_2SO_2NH-$, $-SO_2NHCH_2-$, $-NHCO-$, $-CH_2NHCO-$, $-NHCOCH_2-$, $-CONH-$, $-CH_2CONH-$, $-CONHCH_2-$, $-OCH_2-$, $-CH_2OCH_2$, $-OCH_2CH_2-$, $-CH_2O-$ or $-CH_2CH_2O-$;

R^6 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4}-alkylamino-C_{1-4}-alkyl, C_{1-4}-dialkylamino-C_{1-4}-alkyl, amino-C_{1-4}-alkyl, C_{1-4}-alkyloxy-C_{1-4}-alkyl, one of the residues (a1) to (a28) or is bonded to one of R^7, R^8 or R^9, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^6 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is N, O or S;

R^7 is absent, is -H, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, $-NO_2$, -CN, $-COR^{7'}$, $-COOR^{7'}$ or is bonded to one of R^6, R^8 or R^9 with formation of an optionally substituted carbocyclic or heterocyclic 4- to 6-membered ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^{7'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

R^8 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4}-alkylamino-C_{1-4}-alkyl, C_{1-4}-dialkylamino-C_{1-4}-alkyl, amino-C_{1-4}-alkyl, C_{1-4}-alkyloxy-C_{1-4}-alkyl, one of the residues (a1) to (a28) or is bonded to one of R^6, R^7 or R^9, if present, with formation of an optionally substituted heterocyclic 4- to

6-membered ring system which includes the nitrogen atom to which R^8 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms; and

R^9 is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, C_{1-4}-alkylamino-C_{1-4}-alkyl, C_{1-4}-dialkylamino-C_{1-4}-alkyl, amino-C_{1-4}-alkyl, C_{1-4}-alkyloxy-C_{1-4}-alkyl, one of the residues (a1) to (a28) or is bonded to one of R^6, R^7 or R^8, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R^9 is bonded and can be saturated or unsaturated and/or can contain further heteroatoms.

4. Compounds according to Claim 3,
characterized in that

R^4 is $-SO_2R^{4'}$;

$R^{4'}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chlorophenyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoromethyl)-phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-

methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methyl-benzothiazol-2-yl, N-methoxycarbonyl-piperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

L is $-NHSO_2-$, $-CH_2NHSO_2-$, $-NHSO_2CH_2-$;

X is N or O;

and the other residues are as defined in Claim 3.

5. Compounds according to Claim 3,

characterized in that

R^4 is $-COR^{4'}$;

$R^{4'}$ is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoro-

methyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methylbenzothiazol-2-yl, N-methoxycarbonylpiperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

L is $-NHSO_2-$, $-CH_2NHSO_2-$ or $-NHSO_2CH_2-$;

X is N or O;

and the other residues are as defined in Claim 1.

6. Compounds according to Claim 3,

characterized in that

R^4 is $-COOR^{4''}$;

$R^{4''}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, or a substituted derivative thereof, $-CH_2C_6H_2(CH_3)_3$, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenylmethyl, 4-trifluoromethylphenylmethyl, 3,5-bis(trifluoromethyl)phenylmethyl, 4-

trifluoromethoxyphenyl, 2-thiophenylmethyl, 4-methoxyphenylmethyl, 3,5-dimethoxyphenylmethyl, 3-methylphenylmethyl, 4-methylphenylmethyl, 4-t-butylphenylmethyl, 4-propylphenylmethyl, 2,5-dimethylphenylmethyl, 2-methoxy-5-methylphenylmethyl, 2,3,5,6-tetramethylphenylmethyl, 2,3,4,5,6-pentamethylphenylmethyl, 1-naphthylmethyl, 2-naphthylmethyl, 4-fluorophenylmethyl, 2,4-difluorophenylmethyl, 2-chloro-6-methylphenylmethyl, 2-chloro-4-fluorophenylmethyl, 2,5-dimethoxyphenylmethyl, 3,4-dimethoxyphenylmethyl, 3-chloro-6-methoxyphenylmethyl, 2-trifluoromethylphenylmethyl, 2,2,2-trifluoroethyl, isoxazol-5-ylmethyl, 2-chloropyridin-3-yl-methyl, pyridin-3-yl-methyl, 2-chloropyridine-5-methyl;

L is $-NHSO_2-$, $-CH_2NHSO_2-$, $-NHSO_2CH_2-$;

X is N or O;

and the other residues are as defined in Claim 3.

7. Compounds according to Claim 3,

characterized in that

R^4 is $-SO_2R^{4'}$;

$R^{4'}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoromethyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thio-

phenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methyl-benzothiazol-2-yl, N-methoxycarbonyl-piperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

L is -NHCO-, -CH_2NHCO- or -NHCOCH_2-;

X is N or O;

and the other residues are as defined in Claim 3.

8. Compounds according to Claim 3,

characterized in that

R^4 is -$SO_2R^{4'}$;

$R^{4'}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -$C_6H_2(CH_3)_3$, -$C_6(CH_3)_5$, -$CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chlorophenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoro-

methyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methyl-benzothiazol-2-yl, N-methoxycarbonyl-piperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

L is $-OCH_2-$, $-CH_2O-$, $-CH_2OCH_2-$, $-CH_2CH_2O-$ or $-OCH_2CH_2-$;

X is N or O;

and the other residues are as defined in Claim 3.

9. Compounds according to Claim 3,
characterized in that

R^4 is $-SO_2R^{4'}$;

$R^{4'}$ is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, phenyl, benzyl, tolyl or a substituted derivative thereof, $-C_6H_2(CH_3)_3$, $-C_6(CH_3)_5$, $-CH_2C_6H_2(CH_3)_3$, 4-phenylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,5-dichlorophenyl, 3,5-dichlorophenyl, 2,6-dichlorophenyl, 2-chloro-

phenylmethyl, 4-chlorophenylmethyl, 2,4-dichlorophenylmethyl, 2,6-dichlorophenylmethyl, 2-methoxycarbonylphenylmethyl, 3-trifluoromethylphenyl, 4-trifluoromethylphenyl, 3,5-bis(trifluoromethyl)phenyl, 4-trifluoromethoxyphenyl, phenylmethyl, 2-acetamido-4-methyl-thiazol-5-yl, phenylethyl, 1-phenylpropyl, (S)-(+)-camphor-10-yl, (R)-(-)-camphor-10-yl, 2-phenylethenyl, 2-thiophenyl, 4-methoxyphenyl, 3,5-dimethoxyphenyl, 3-methylphenyl, 4-methylphenyl, 4-t-butylphenyl, 4-propylphenyl, 2,5-dimethylphenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3,4,5,6-pentamethylphenyl, 1-naphthyl, 2-naphthyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulphonylphenyl, 2-arylsulphonylphenyl, 3-(N-acetyl-6-methoxy)aniline, 4-acetamidophenyl, 2,2,2-trifluoroethyl, 5-chloro-3-methyl-benzothiazol-2-yl, N-methoxycarbonyl-piperidin-3-yl, thiophen-2-yl, isoxazol-5-yl, 2-chloropyridin-3-yl, pyridin-3-yl, 5-methylisoxazol-3-yl, 1-adamantyl, 4-chlorophenoxymethyl, 2,2-dimethylethenyl, 2-chloropyridine-5-methyl, 5,7-dimethyl-1,3,4-triazaindolizin-2-yl, (S)-camphan-1-yl, (R)-camphan-1-yl or 8-quinolinyl;

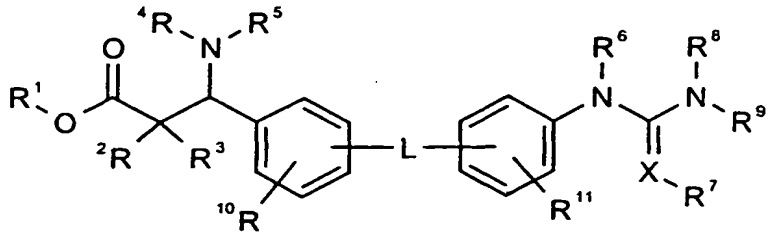
L is $-NHSO_2-$, $-CH_2NHSO_2-$ or $-NHSO_2CH_2-$;

X is N or O;

R^7 and R^9 together form an ethylene group which bonds the nitrogen atom to which R^7 is bonded to the nitrogen atom to which R^9 is bonded;

and the other residues are as defined in Claim 3.

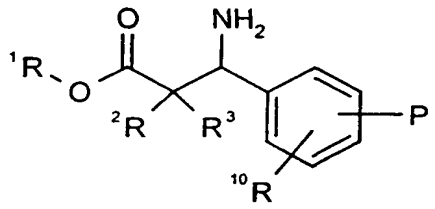
10. Process for the preparation of compounds of the formula (1)



(1)

comprising the steps

a) reaction of a β-amino acid of the formula (2)



(2)

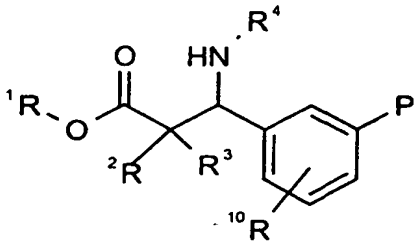
wherein

P is $-(CH_2)_mNO_2$, $-(CH_2)_mO-C_{1-6}$-alkyl, $-(CH_2)_mSO_2P'$, $-(CH_2)_mCOP'$, $-(CH_2)_mCH_2O-C_{1-6}$-alkyl, wherein m in each case in an integer of 0 or 1;

P′ is -OH, $-O-C_{1-6}$-alkyl,

and the other residues are as defined in Claim 1

with a compound R^4-A to give a compound of the formula (3);



(3)

wherein

R^4 is $-SO_2R^{4'}$, $-COOR^{4''}$, or $-COR^{4'}$;

$R^{4'}$ and $R^{4''}$ are as defined in Claim 1;

A is -Cl, -Br, -I, -O-triflyl, -O-tosyl, -O-C_{1-6}-alkyl, -O-CO-C_{1-6}-alkyl, -O-CO-O-C_{1-6}-alkyl, -$OC(CH_3)=CH_2$;

and the other residues are as defined above;

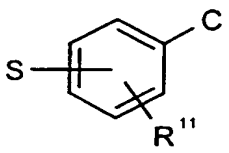
b) conversion of the residue P into the residue Q, wherein

Q is -$(CH_2)_mNH_2$, -$(CH_2)_mOH$, -$(CH_2)_mCH_2OH$, -$(CH_2)_mSO_2A$, -$(CH_2)_mCOA$,

A is as defined above;

m is an integer of 0 or 1;

c) reaction of the compound obtained from step b) with a compound of the formula (4)



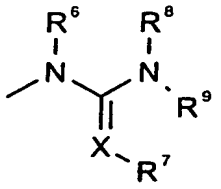
(4)

wherein

S is $ASO_2(CH_2)_n$-, $NH_2(CH_2)_n$-, $ACO(CH_2)_n$-, $HOCH_2(CH_2)_n$-, $M(CH_2)_n$-, MCH_2 $(CH_2)_n$-, $HSCH_2(CH_2)_n$- or $HS(CH_2)_n$-, wherein n is an integer of 0 or 1;

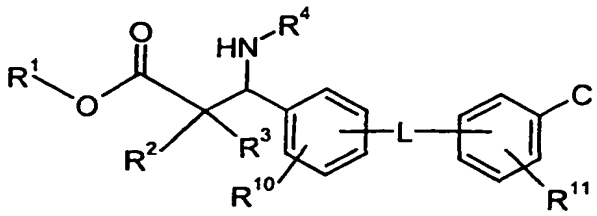
M is a residue including Mg, Li, Cd or Sn;

A is as defined above; and

C is -NO_2 or  ; and

X, R^7, R^8, R^9 and R^{11} are as defined in Claim 1;

to give a compound of the formula (5)



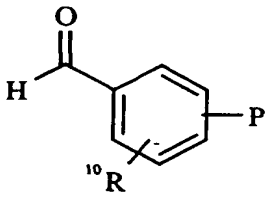
(5)

wherein the residues are as defined in Claim 1;

d) if appropriate, conversion of C, if C is a nitro group, into an optionally cyclic urea, thiourea or guanidine unit with obtainment of the compound (1); and

e) if appropriate, removal of protective groups and/or derivatization of nitrogen atoms, which are present, at preferred times within the preparation process, and/or
conversion of the compound obtained into the free acid and/or conversion of the compound obtained into one of its physiological salts by reaction with an inorganic or organic base or acid.

11. Process according to Claim 10,
characterized in that
the β-amino acid of the formula (2) is obtained by reaction of malonic acid with a benzaldehyde derivative of the formula (2a)



(2a)

wherein R^{10} and P are as defined in Claim 10, in the presence of ammonia, ammonium compounds or amines and, if appropriate, subsequent substitution in the α-position to the terminal carboxyl group.

12. Process according to Claim 10,
characterized in that
it comprises the conversion of the nitro group in step d) by reduction to the amino group, subsequent reaction with a carbonic acid derivative and, if appropriate, removal of protective groups present and/or reaction with a compound containing at least one amino group.

13. Pharmaceutical composition, comprising at least one compound according to one of Claims 1 to 9.

13. Use of compounds according to one of Claims 1 to 9 for the production of a pharmaceutical composition having integrin-antagonistic action.

14. Use of compounds according to one of Claims 1 to 10 for the production of a pharmaceutical composition for the inhibition of angiogenesis and/or for the therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis and ophthalmic disorders.